



AB (hydroxyethyl)ureas R1NHCHR2CH(OH)CH2NR3CONHR4 [R1 is a group Q [H, alkyl, cycloalkyl or (hetero)aryl], R6O2C, R7R8NCO, where R6-R8 are selected from Q, provided that R1 is not bonded via a group CC(X) (X is O, S or N); R2, R3 = Q; NHR4 is peptidyl or R4 is selected from Q; non-hydrogen R1-R4, R6-R5 can be substituted by alkylamino, alkoxy, amino, halide, nitro, sulfate, sulfonamide, sulfoxide, or thiol ether] were prepared for use as inhibitors of certain aspartyl proteases, notably secretases involved in the enzymic cleavage of amyloid precursor protein (APP) to yield amyloid- $\beta$  peptide. Methods are provided for administering the novel compds. to treat  $\beta$ -amyloid-associated diseases, notably Alzheimer's disease. Thus, (hydroxyethyl)urea I (Boc = tert-butoxycarbonyl) was prepared and showed IC50 = 0.5  $\mu$ M for inhibition of  $\beta$ -amyloid protein production in APP751 plus neo-transfected CHO cells in vitro.

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